STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 106[illegible]

TO: Michael Meller **Location: CM1/11D13** **Art Unit : 1654** **Tu sday, October 28, 2003** **Case Serial Number: 09/857,887**	**From : Susan Hanley** **Location: Biotech-Chem Library** **CM1 6B05** **Phone: 305-4053** **susan.hanley@uspto.gov**

Search Notes

rush

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: Mike Miller Serial Number: 09/857,582

Date: 10/23/03 Phone: 308-4222 Art Unit: 1659

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

Search claims 1-11.

STAFF USE ONLY

Date completed: 10/28

Searcher: Howard

Terminal time: 3

Elapsed time: 4 0

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: _____

Search Site

_____ STIC

_____ CM-1

_____ Pre-S

Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

1 Structure

_____ Bibliographic

Vendors

_____ IG

1 STN

_____ Dialog

_____ APS

_____ Geninfo

_____ SDC

_____ DARC/Questel

_____ Other

parent STR

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=> d que
L35             STR

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                  OH

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           O       C 22OH  OH  OH  O       Cb          O

C   NH  CH  C   NH  C   C   C   C   C   NH  C   CH2     C   O
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NODE ATTRIBUTES:
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GGCAT   IS MCY  UNS  AT  17
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT  17

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  24

STEREO ATTRIBUTES: NONE
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L38              STR

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CONNECT IS E1  RC AT  15
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT  17
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT  17

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  36

STEREO ATTRIBUTES: NONE
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L40            2 SEA FILE=CAPLUS ABB=ON  PLU=ON  L39

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L40  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:         2002:410373  CAPLUS
DOCUMENT NUMBER:          137:137428
TITLE:                    Synthesis and anti-Helicobacter pylori activity of
                          pyloricidin derivatives: II. The combination of amino
                          acid residues in the dipeptidic moiety and its effect
                          on the anti-Helicobacter pylori activity
AUTHOR(S):                Hasuoka, Atsushi; Nishikimi, Yuji; Nakayama, Yutaka;
                          Kamiyama, Keiji; Nakao, Masafumi; Miyagawa,
```

85 cpds from parent

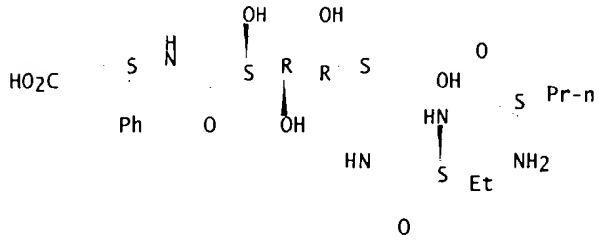
Subset STR

20 cpds from subset

2 cites

Ken-Ichiro; Nishimura, Osamu; Fujino, Masahiko
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories I, Pharmaceutical Research Division, Takeda Chemical Industries, Ltd., Osaka, 532-8686, Japan
SOURCE: Journal of Antibiotics (2002), 55(5), 499-507
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The novel natural antibiotics pyloricidin A, B and C, consisting of a common (2S,3R,4R,5S)-5-amino-2,3,4,6-tetrahydroxyhexanoyl-.beta.-D-phenylalanine moiety and a terminal peptidic moiety (pyloricidin A: L-valine-L-valine-L-leucine; pyloricidin B: L-valine-L-leucine; pyloricidin C: L-leucine), exhibit potent and highly selective anti-Helicobacter pylori activity. In order to develop more potent compds. and to investigate structure activity relationships for the peptidic moiety with regard to the combination of amino acids, a series of derivs. with various dipeptidic moieties were prepd. and evaluated for their anti-H. pylori activity. The combination of the two amino acids in the moiety was found to have a significant effect on the activity; the compd. with Nva-Abu showed excellent anti-H. pylori activity with an MIC value of 0.013 .mu.g/mL against H. pylori TN2. In addn., this compd. was found to show 60% clearance of H. pylori from infected Mongolian gerbils upon repetitive oral administration (10 mg/kg, b. i. d. for 7 days).
IT **282549-81-9P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-Helicobacter pylori activity of pyloricidin derivs.)
RN 282549-81-9 CAPLUS
CN .beta.-Alanine, L-norvalyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

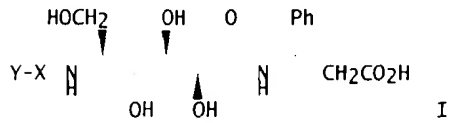


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:475680 CAPLUS
DOCUMENT NUMBER: 133:105346
TITLE: Preparation of polyol-amino acid compounds having activity against Helicobacter pylori
INVENTOR(S): Kamiyama, Keiji; Nishikimi, Yuji; Hasuoka, Atsushi; Nakao, Masafumi; Miyagawa, Ken-ichiro; Akiyama, Yohko
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000040599 A1 20000713 WO 2000-JP23 20000106
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
JP 2000256395 A2 20000919 JP 2000-5735 20000106
EP 1140979 A1 20011010 EP 2000-900126 20000106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: JP 1999-1898 A 19990107
WO 2000-JP23 W 20000106
OTHER SOURCE(S): MARPAT 133:105346
GI

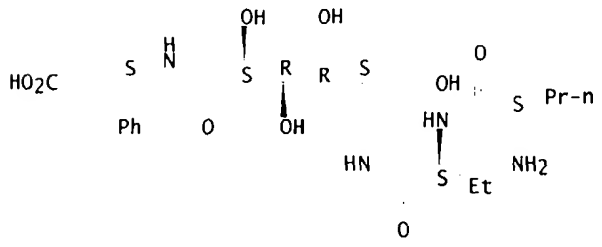


AB Title compds. I (X = L-serine, L-asparagine, or (S)-2-aminobutyric acid residue; Y is .alpha.-L-amino acid residue) or their salts or prodrugs having activity against Helicobacter bacteria were prepd. Thus, (S)-3-[[(2S,3R,4R,5S)-5-[(L-norvalyl-(S)-2-aminobutyryl)amino]-2,3,4,6-tetrahydroxyhexanoyl]amino]-3-phenylpropionic acid, prepd. from a leucine-polyol isolated from Bacillus sp. HC-72, showed min. inhibitory concn. 0.025 mg/mL against Helicobacter pylori. Pharmaceutical formulations the above product are given.

IT **282549-81-9P 282549-83-1P**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyol-amino acid compds. having activity against Helicobacter pylori)

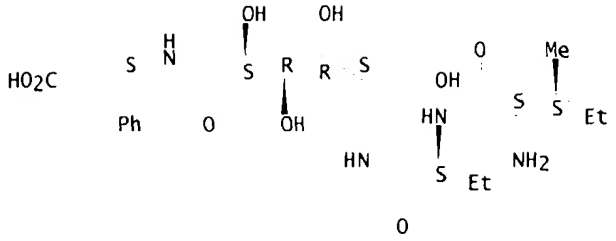
RN 282549-81-9 CAPLUS
CN .beta.-Alanine, L-norvalyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 282549-83-1 CAPLUS
CN .beta.-Alanine, L-isoleucyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

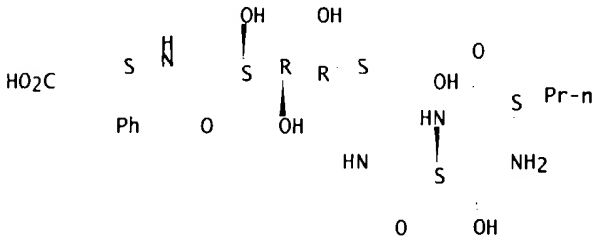
Absolute stereochemistry.



IT **282549-69-3P 282549-71-7P 282549-73-9P**
282549-75-1P 282549-77-3P 282549-79-5P
282549-85-3P 282549-87-5P 282549-89-7P
282549-91-1P 282549-93-3P 282549-95-5P
282549-98-8P 282550-00-9P 282550-02-1P
282550-04-3P 282550-06-5P 282550-21-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyol-amino acid compds. having activity against Helicobacter pylori)

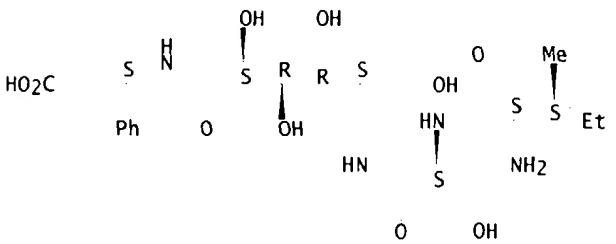
RN 282549-69-3 CAPLUS
CN .beta.-Alanine, L-norvalyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



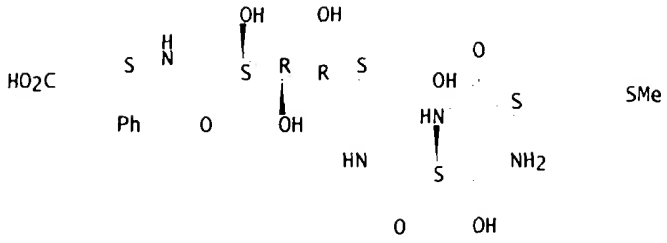
RN 282549-71-7 CAPLUS
CN .beta.-Alanine, L-isoleucyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



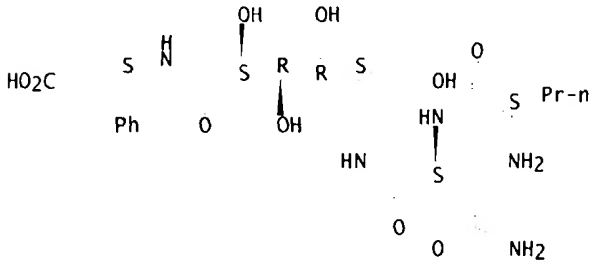
RN 282549-73-9 CAPLUS
CN .beta.-Alanine, L-methionyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



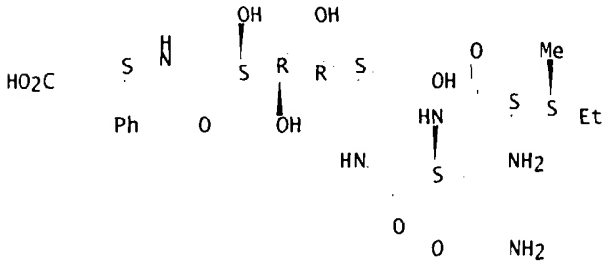
RN 282549-75-1 CAPLUS
CN .beta.-Alanine, L-norvalyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



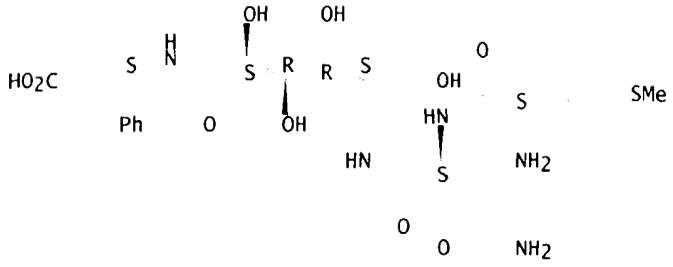
RN 282549-77-3 CAPLUS
CN .beta.-Alanine, L-isoleucyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



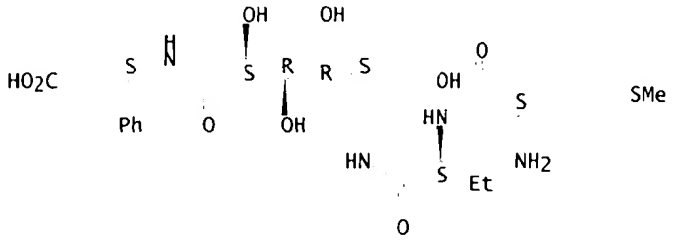
RN 282549-79-5 CAPLUS
CN .beta.-Alanine, L-methionyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 282549-85-3 CAPLUS
CN .beta.-Alanine, L-methionyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 282549-87-5 CAPLUS
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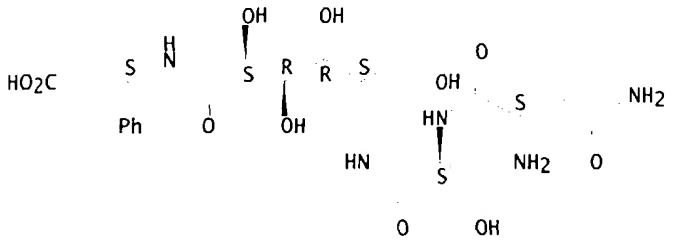
Absolute stereochemistry.



RN 282549-89-7 CAPLUS
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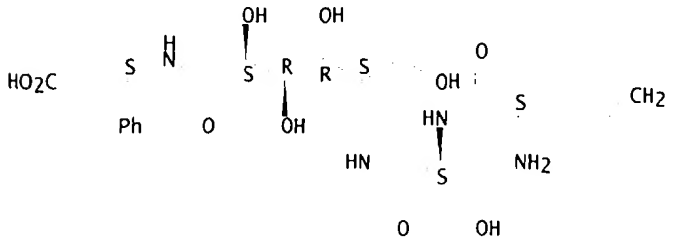
Absolute stereochemistry.



RN 282549-91-1 CAPLUS
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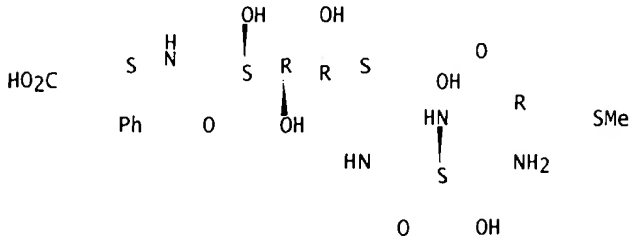
Absolute stereochemistry.



RN 282549-93-3 CAPLUS
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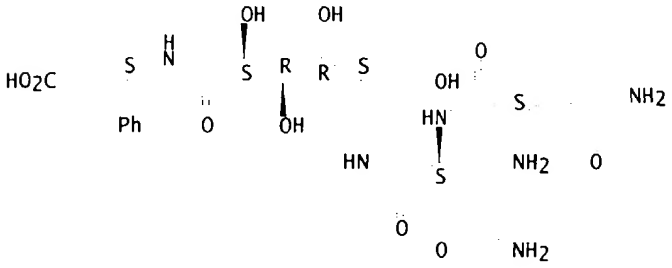
Absolute stereochemistry.



RN 282549-95-5 CAPLUS
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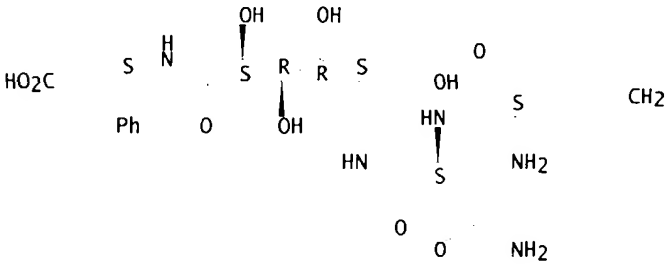
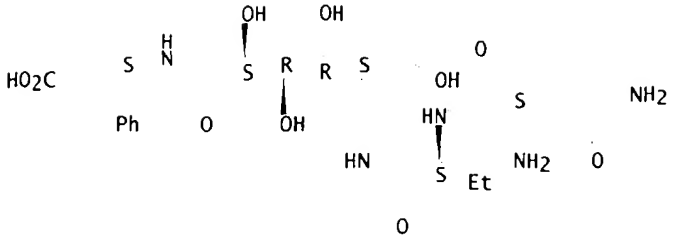
Absolute stereochemistry.



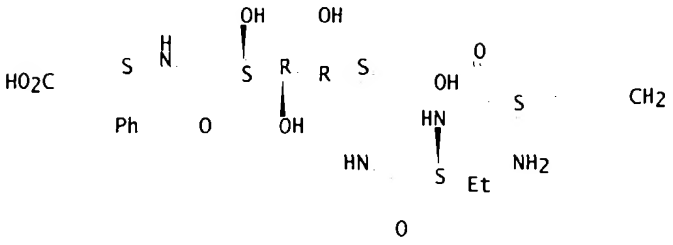
RN 282549-98-8 CAPLUS
CN .beta.-Alanine, L-asparaginyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 282550-00-9 CAPLUS
CN .beta.-Alanine, 4,5-didehydro-L-norvalyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 282550-02-1 CAPLUS
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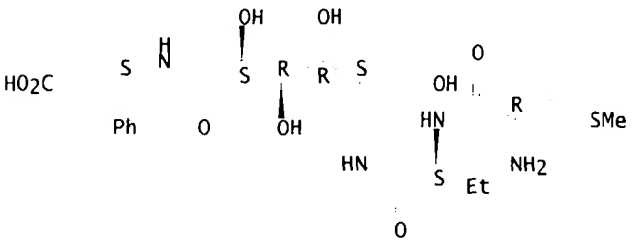
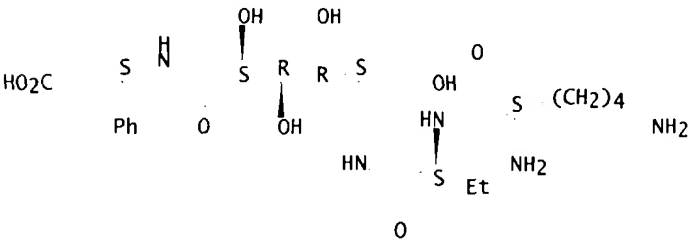
Absolute stereochemistry.



RN 282550-04-3 CAPLUS
CN .beta.-Alanine, L-lysyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 282550-06-5 CAPLUS
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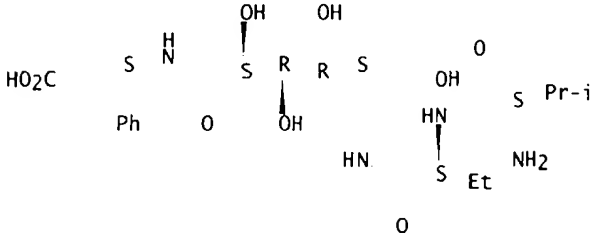
Absolute stereochemistry.



RN 282550-21-4 CAPLUS
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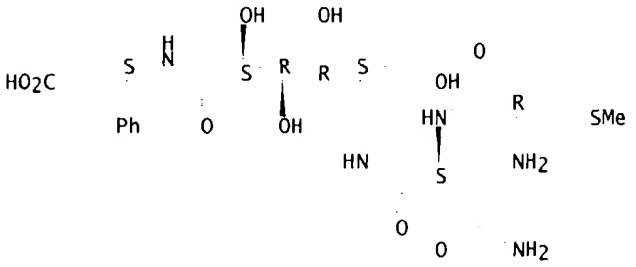
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Beilstein - same reference as CAPLUS

MELLER 09/857,887

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L38             STR

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VAR G1=30/32/35
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  15
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT  17
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT  17

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  36

STEREO ATTRIBUTES: NONE
L41           1 SEA FILE=BEILSTEIN SSS FUL L38


=> d l41


L41  ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

     Beilstein Records (BRN):        9241933
     Chemical Name (CN):             3-<5-<2-(2-amino-pentanoylamino)-
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                                     hexanoylamino>-3-phenyl-propionic acid
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                                     butyrylamino>-2,3,4,6-tetrahydroxy-
                                     hexanoylamino>-3-phenyl-propionic acid
     Molec. Formula (MF):            C24 H38 N4 O9
     Molecular Weight (MW):          526.59
     Lawson Number (LN):             16048, 3603, 3407, 3398
     File Segment (FS):              Stereo compound
     Compound Type (CTYPE):          isocyclic
     Constitution ID (CONSID):       7803675
     Tautomer ID (TAUTID):           8680994
     Entry Date (DED):               2003/01/18
     Update Date (DUPD):             2003/01/18
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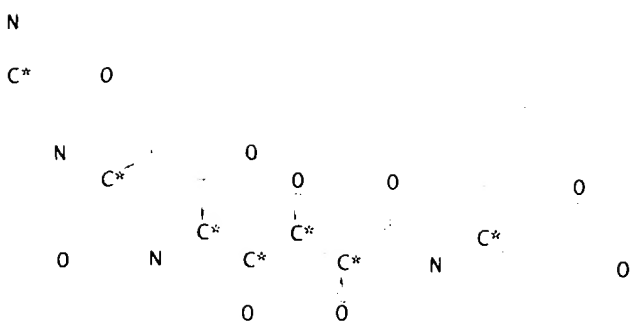

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
ORP	Optical Rotatory Power	1
PHARM	Pharmacological Data	17

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx

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Reaction:
RX

Reaction ID (.ID):	9172585
Reactant BRN (.RBRN):	9246593
Reactant (.RCT):	3-<5-<2-(2-tert-butoxycarbonylamino-pentanoylamino)-butyrylamino>-2,3,4,6-tetrahydroxy-hexanoylamino>-3-phenyl-propionic acid benzhydryl ester
Product BRN (.PBRN):	9241933
Product (.PRO):	3-<5-<2-(2-amino-pentanoylamino)-butyrylamino>-2,3,4,6-tetrahydroxy-hexanoylamino>-3-phenyl-propionic acid
No. of React. Details (.NVAR):	1

Reaction Details:

RX

Reaction RID (.RID):	9172585.1
Reaction Classification (.CL):	Preparation
Yield (.YDT):	90 percent (BRN=9241933)
Reagent (.RGT):	aq. HCl
Solvent (.SOL):	ethyl acetate
Temperature (.T):	20 Cel

Reference(s):

1. Hasuoka, Atsushi; Nishikimi, Yuji; Nakayama, Yutaka; Kamiyama, Keiji; Nakao, Masafumi; Miyagawa, Ken-ichiro; Nishimura, Osamu; Fujino, Masahiko, J.Antibiot., CODEN: JANTAJ, 55(5), <2002>, 499 - 507; BABS-6365836